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CLAIMS

1. A thienopyrimidine or thienopyridine derivative substituted with a cyclic amino group represented by the following formula [I]:

$$X-(CHR^3)_{\overline{n}}-(CR^1R^2)_{\overline{m}}$$
 R^4
 R^5
 N
 R^6

[I]

(wherein the cyclic amino group is represented by the following formula [II]:

$$X-(CHR^3)_n-(CR^1R^2)_m$$
 R^4
 $N-$
[II]

in which the cyclic amino group is a 3- to 8-membered saturated cyclic amine or a 3- to 8-membered saturated cyclic amine bridged with C₁₋₅alkylene or C₁₋₄alkylene-O-C₁₋₄alkylene between any different two carbon atoms of the cyclic amine, which cyclic amine is substituted with a group represented by -(CR¹R²)_m-(CHR³)_n-X, R⁴ and R⁵ independently on the same or different carbon atoms of the cyclic amine;

X is cyano, hydroxy, -CO₂R⁸ or -CONR⁹R¹⁰;

Y is N or CR¹¹;

 R^1 is hydrogen, hydroxy, C_{1-5} alkyl, C_{1-5} alkoxy- C_{1-5} alkyl or hydroxy- C_{1-5} alkyl;

R² is hydrogen or C₁₋₅alkyl;

 R^3 is hydrogen, cyano, $C_{1\text{--}5}alkyl,\,C_{1\text{--}5}alkoxy\text{--}C_{1\text{--}5}alkyl$ or hydroxy- $C_{1\text{--}5}alkyl$

5alkyl;

m is an integer selected from 0, 1, 2, 3, 4 and 5;

n is 0 or 1;

R⁴ is hydrogen, hydroxy, hydroxy-C₁₋₅alkyl, cyano, cyano-C₁₋₅alkyl or C₁₋₅alkyl;

R⁵ is hydrogen or C₁₋₅alkyl;

R⁶ is hydrogen, C₁₋₅alkyl, C₃₋₈cycloalkyl, C₃₋₈cycloalkyl-C₁₋₅alkyl, hydroxy, C₁₋₅alkoxy, C₃₋₈cycloalkyloxy, halogen, C₁₋₅alkylthio or -N(R¹²)R¹³;

R⁷ is hydrogen, halogen, C₁₋₅alkyl, C₃₋₈cycloalkyl, C₃₋₈cycloalkyl-C₁₋₅alkyl, hydroxy, C₁₋₅alkoxy, C₃₋₈cycloalkyloxy, -N(R¹⁴)R¹⁵, -CO₂R¹⁶, -CON(R¹⁷)R¹⁸, cyano, nitro, C₁₋₅alkylthio, trifluoromethyl or trifluoromethoxy;

Ar is aryl or heteroaryl which aryl or heteroaryl is unsubstituted or substituted with 1 or more substituents, which are the same or different, selected from the group consisting of halogen, C₁₋₅alkyl, C₃₋₈cycloalkyl, C₂₋₅alkenyl, C₂₋₅alkynyl, C₁₋₅alkoxy, C₁₋₅alkylthio, C₁₋₅alkylsulfinyl, C₁₋₅alkylsulfonyl, cyano, nitro, hydroxy, -CO₂R¹⁹, -C(=O)R²⁰, -CONR²¹R²², -OC(=O)R²³, -NR²⁴CO₂R²⁵, -S(=O)_rNR²⁶R²⁷, trifluoromethyl, trifluoromethoxy, difluoromethoxy, fluoromethoxy, methylenedioxy, ethylenedioxy and -N(R²⁸)R²⁹;

R⁸ is hydrogen, C₁₋₁₀alkyl, C₃₋₈cycloalkyl, C₃₋₈cycloalkyl-C₁₋₅alkyl, aryl or aryl-C₁₋₅alkyl;

R⁹ and R¹⁰ are the same or different, and independently are hydrogen, C₁₋₅alkyl, C₃₋₈cycloalkyl, C₃₋₈cycloalkyl-C₁₋₅alkyl, aryl or aryl-C₁₋₅alkyl; or R⁹ and R¹⁰ form a ring selected from saturated 3 to 8 membered ring with the attached nitrogen atom, wherein one of the carbon atoms of such saturated 3 to 8 membered ring is optionally replaced by an oxygen or sulfur atom or by N-Z wherein Z is hydrogen, benzyl or C₁₋₅alkyl;

R¹¹ is hydrogen, halogen or C₁₋₅alkyl;

 R^{12} , R^{13} , R^{14} and R^{15} are the same or different, and independently are hydrogen or C_{1-5} alkyl;

 R^{16} , R^{19} and R^{25} are the same or different, and independently are hydrogen or C_{1-5} alkyl, C_{3-8} cycloalkyl, C_{3-8} cycloalkyl, aryl or aryl- C_{1-5} alkyl, aryl or aryl- C_{1-5} alkyl;

R¹⁷, R¹⁸, R²⁰, R²¹, R²², R²³, R²⁴, R²⁶, R²⁷, R²⁸ and R²⁹ are the same or different, and independently are hydrogen, C₁₋₅alkyl or C₃₋₈cycloalkyl;

r is 1 or 2)

, individual isomers thereof or racemic or non-racemic mixtures of isomers thereof, pharmaceutically acceptable prodrugs thereof or pharmaceutically acceptable salts and hydrates thereof.

2. The thienopyrimidine derivative substituted with the cyclic amino group according to claim 1 represented by the following formula [III]:

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$$X-(CHR^3)_{\overline{n}}(CR^1R^2)_m$$
 R^5
 N
 N
 R^6
 R^6

(wherein X, m, n, the cyclic amino group, R¹, R², R³, R⁴, R⁵, R⁶, R⁷ and Ar are as defined in claim 1), individual isomers thereof or racemic or non-racemic mixtures of isomers thereof, or pharmaceutically acceptable salts and hydrates thereof.

- 3. The thienopyrimidine derivative substituted with the cyclic amino group according to claim 2 represented by formula [III], wherein X is cyano; the cyclic amino group is a 4- to 7-membered saturated cyclic amine; n is 0; m is an integer selected from 0, 1, 2 and 3; R¹, R², R⁴ and R⁵ are hydrogen; R⁶ is C₁₋₅alkyl; R⁷ is hydrogen or C₁₋₅alkyl; and Ar is phenyl which phenyl is substituted with two or three substituents, which are the same or different, selected from the group consisting of halogen, C₁₋₃alkyl, C₁₋₃alkoxy, C₁₋₃alkylthio, trifluoromethyl, trifluoromethoxy and –N(R²⁸)R²⁹ (wherein R²⁸ and R²⁹ are the same or different, and independently are hydrogen or C₁₋₃alkyl), individual isomers thereof or racemic or non-racemic mixtures of isomers thereof, or pharmaceutically acceptable salts and hydrates thereof.
- 4. The thienopyrimidine derivative substituted with the cyclic amino group according to claim 2 represented by formula [III], wherein X is cyano; the cyclic amino group is a 6-membered saturated cyclic amine; n is 0; m is 0 or 1; R¹, R², R⁴ and R⁵ are hydrogen; R⁶ is C₁₋₅alkyl; R⁷ is hydrogen or C₁₋₅alkyl; and Ar is phenyl which phenyl is substituted with two or three substituents, which are the same or different, selected from the group consisting of halogen and C₁₋₃alkyl, individual isomers thereof or racemic or non-racemic mixtures of isomers thereof, or pharmaceutically acceptable salts and hydrates thereof.
- 5. The thienopyrimidine derivative substituted with the cyclic amino group

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according to claim 2 represented by formula [III], wherein X is hydroxy; the cyclic amino group is a 4- to 7-membered saturated cyclic amine; n is 0; m is an integer selected from 1, 2 and 3; R¹, R², R⁴ and R⁵ are hydrogen; R⁶ is C₁₋₅alkyl; R⁷ is hydrogen or C₁₋₅alkyl; and Ar is phenyl which phenyl is substituted with two or three substituents, which are the same or different, selected from the group consisting of halogen, C₁₋₃alkyl, C₁₋₃alkoxy, C₁₋₃alkylthio, trifluoromethyl, trifluoromethoxy and –N(R²⁸)R²⁹ (wherein R²⁸ and R²⁹ are the same or different, and independently are hydrogen or C₁₋₃alkyl), individual isomers thereof or racemic or non-racemic mixtures of isomers thereof, or pharmaceutically acceptable salts and hydrates thereof.

- 6. The thienopyrimidine derivative substituted with the cyclic amino group according to claim 2 represented by formula [III], wherein X is hydroxy; the cyclic amino group is a 6-membered saturated cyclic amine; n is 0; m is an integer selected from 1, 2 and 3; R¹, R², R⁴ and R⁵ are hydrogen; R⁶ is C₁₋₅alkyl; R⁷ is hydrogen or C₁₋₅alkyl; and Ar is phenyl which phenyl is substituted with two or three substituents, which are the same or different, selected from the group consisting of halogen and C₁₋₃alkyl, individual isomers thereof or racemic or non-racemic mixtures of isomers thereof, or pharmaceutically acceptable salts and hydrates thereof.
- 7. The thienopyrimidine derivative substituted with the cyclic amino group according to claim 2 represented by formula [III], wherein X is -CO₂R⁸ or -CONR⁹R¹⁰; the cyclic amino group is a 4- to 7-membered saturated cyclic amine; n is 0; m is an integer selected from 0, 1, 2 and 3; R¹, R², R⁴ and R⁵ are hydrogen; R⁶ is C₁₋₅alkyl; R⁷ is hydrogen or C₁₋₅alkyl; R⁸ is hydrogen or C₁₋₁₀alkyl; R⁹ and R¹⁰ are the same or different, and independently are hydrogen or C₁₋₅alkyl; and Ar is phenyl which phenyl is substituted with two or three substituents, which are the same or different, selected from the group consisting of halogen, C₁₋₃alkyl, C₁₋₃alkoxy, C₁₋₃alkylthio, trifluoromethyl, trifluoromethoxy and –N(R²⁸)R²⁹ (wherein R²⁸ and R²⁹ are the same or different, and independently are hydrogen or C₁₋₃alkyl), individual isomers thereof or racemic or non-racemic mixtures of isomers thereof, or pharmaceutically acceptable salts and hydrates thereof.

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- 8. The thienopyrimidine derivative substituted with the cyclic amino group according to claim 2 represented by formula [III], wherein X is -CO₂R⁸ or -CONR⁹R¹⁰; the cyclic amino group is a 6-membered saturated cyclic amine; n is 0; m is an integer selected from 0, 1, 2 and 3; R¹, R², R⁴ and R⁵ are hydrogen; R⁶ is C₁₋₅alkyl; R⁷ is hydrogen or C₁₋₅alkyl; R⁸ is hydrogen or C₁₋₁₀alkyl; R⁹ and R¹⁰ are the same or different, and independently are hydrogen or C₁₋₅alkyl; and Ar is phenyl which phenyl is substituted with two or three substituents, which are the same or different, selected from the group consisting of halogen and C_{1.3}alkyl, individual isomers thereof or racemic or non-racemic mixtures of isomers thereof, or pharmaceutically acceptable salts and hydrates thereof.
- 9. The thienopyridine derivative substituted with the cyclic amino group according to claim 1 represented by the following formula [IV]:

$$X-(CHR^3)_{\overline{n}}(CR^1R^2)_{\overline{m}}$$

$$R^4$$

$$R^5$$

$$R^{11}$$

$$R^6$$

(wherein X, m, n, the cyclic amino group, R¹, R², R³, R⁴, R⁵, R⁶, R⁷, R¹¹ and Ar are as defined in claim 1), individual isomers thereof or racemic or non-racemic mixtures of isomers thereof, or pharmaceutically acceptable salts and hydrates thereof.

The thienopyridine derivative substituted with the cyclic amino group 10. according to claim 9 represented by formula [IV], wherein X is cyano; the cyclic amino group is a 4- to 7-membered saturated cyclic amine; n is 0; m is an integer selected from 1, 2 and 3; R¹, R², R⁴ and R⁵ are hydrogen; R⁶ is C₁₋₅alkyl; R⁷ is hydrogen or C₁₋₅alkyl; R¹¹ is hydrogen or C₁₋₅alkyl; and Ar is phenyl which phenyl is substituted with two or three substituents, which are the same or different, selected from the group consisting of halogen, C₁₋₃alkyl, C₁₋₃alkoxy, C₁₋₃alkylthio, trifluoromethyl, trifluoromethoxy and -N(R²⁸)R²⁹ (wherein R²⁸ and R²⁹ are the same or different, and independently are hydrogen or C₁₋₃alkyl), individual isomers

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thereof or racemic or non-racemic mixtures of isomers thereof, or pharmaceutically acceptable salts and hydrates thereof.

- 11. The thienopyridine derivative substituted with the cyclic amino group according to claim 9 represented by formula [IV], wherein X is cyano; the cyclic amino group is a 6-membered saturated cyclic amine; n is 0; m is 0 or 1; R¹, R², R⁴ and R⁵ are hydrogen; R⁶ is C₁₋₅alkyl; R⁷ is hydrogen or C₁₋₅alkyl; R¹¹ is hydrogen; and Ar is phenyl which phenyl is substituted with two or three substituents, which are the same or different, selected from the group consisting of halogen and C₁₋₃alkyl, individual isomers thereof or racemic or non-racemic mixtures of isomers thereof, or pharmaceutically acceptable salts and hydrates thereof.
- 12. The thienopyridine derivative substituted with the cyclic amino group according to claim 9 represented by formula [IV], wherein X is hydroxy; the cyclic amino group is a 4- to 7-membered saturated cyclic amine; n is 0; m is an integer selected from 1, 2 and 3; R¹, R², R⁴ and R⁵ are hydrogen; R⁶ is C₁₋₅alkyl; R⁷ is hydrogen or C₁₋₅alkyl; R¹¹ is hydrogen or C₁₋₅alkyl; and Ar is phenyl which phenyl is substituted with two or three substituents, which are the same or different, selected from the group consisting of halogen, C₁₋₃alkyl, C₁₋₃alkoxy, C₁₋₃alkylthio, trifluoromethyl, trifluoromethoxy and –N(R²⁸)R²⁹ (wherein R²⁸ and R²⁹ are the same or different, and independently are hydrogen or C₁₋₃alkyl), individual isomers thereof or racemic or non-racemic mixtures of isomers thereof, or pharmaceutically acceptable salts and hydrates thereof.
- 13. The thienopyridine derivative substituted with the cyclic amino group according to claim 9 represented by formula [IV], wherein X is hydroxy; the cyclic amino group is a 6-membered saturated cyclic amine; n is 0; m is an integer selected from 1, 2 and 3; R¹, R², R⁴ and R⁵ are hydrogen; R⁶ is C₁₋₅alkyl; R⁷ is hydrogen or C₁₋₅alkyl; R¹¹ is hydrogen; and Ar is phenyl which phenyl is substituted with two or three substituents, which are the same or different, selected from the group consisting of halogen and C₁₋₃alkyl, individual isomers thereof or racemic or non-racemic mixtures of isomers thereof, or pharmaceutically acceptable salts and hydrates thereof.

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- 14. The thienopyridine derivative substituted with the cyclic amino group according to claim 9 represented by formula [IV], wherein X is -CO₂R⁸ or -CONR⁹R¹⁰; the cyclic amino group is a 4- to 7-membered saturated cyclic amine; n is 0; m is an integer selected from 0, 1, 2 and 3; R¹, R², R⁴ and R⁵ are hydrogen; R⁶ is C₁₋₅alkyl; R⁷ is hydrogen or C₁₋₅alkyl; R⁸ is hydrogen or C₁₋₁₀alkyl; R⁹ and R¹⁰ are the same or different, and independently are hydrogen or C₁₋₅alkyl; R¹¹ is hydrogen or C₁₋₅alkyl; and Ar is phenyl which phenyl is substituted with two or three substituents, which are the same or different, selected from the group consisting of halogen, C₁₋₃alkyl, C₁₋₃alkoxy, C₁₋₃alkylthio, trifluoromethyl, trifluoromethoxy and –N(R²⁸)R²⁹ (wherein R²⁸ and R²⁹ are the same or different, and independently are hydrogen or C₁₋₃alkyl), individual isomers thereof or racemic or non-racemic mixtures of isomers thereof, or pharmaceutically acceptable salts and hydrates thereof.
- 15. The thienopyridine derivative substituted with the cyclic amino group according to claim 2 represented by formula [IV], wherein X is -CO₂R⁸ or -CONR⁹R¹⁰; the cyclic amino group is a 6-membered saturated cyclic amine; n is 0; m is an integer selected from 0, 1, 2 and 3; R¹, R², R⁴ and R⁵ are hydrogen; R⁶ is C₁₋₅alkyl; R⁷ is hydrogen or C₁₋₅alkyl; R⁸ is hydrogen or C₁₋₁₀alkyl; R⁹ and R¹⁰ are the same or different, and independently are hydrogen or C₁₋₅alkyl; R¹¹ is hydrogen; and Ar is phenyl which phenyl is substituted with two or three substituents, which are the same or different, selected from the group consisting of halogen and C₁₋₃alkyl, individual isomers thereof or racemic or non-racemic mixtures of isomers thereof, or pharmaceutically acceptable salts and hydrates thereof.
- 16. Compounds represented by formula [I] according to claim 1, which compounds are selected from the group consisting of
- {1-[7-(4-Bromo-2,6-dimethyl-phenyl)-2-methyl-thieno[3,2-d]pyrimidin-4-yl]-piperidin-4-yl}-methanol,
- {1-[7-(4-bromo-2,6-dimethyl-phenyl)-2,6-dimethyl-thieno[3,2-d]pyrimidin-4-yl]-piperidin-4-yl}-methanol,

- 2-{1-[7-(4-bromo-2,6-dimethyl-phenyl)-2,6-dimethyl-thieno[3,2d]pyrimidin-4-yl]-piperidin-4-yl}-ethanol,
- {1-[7-(4-bromo-2,6-dimethyl-phenyl)-2,6-dimethyl-thieno[3,2-d]pyrimidin-4-yl]-piperidin-4-yl}-acetonitrile.
- {1-[3-(2,4-dichloro-phenyl)-5-methyl-thieno[3,2-b]pyridin-7-yl]-piperidin-4-yl}-methanol,
- {1-[5-methyl-3-(2,4,6-trimethyl-phenyl)-thieno[3,2-b]pyridin-7-yl]piperidin-4-yl}-methanol,
- {1-[3-(4-bromo-2,6-dimethyl-phenyl)-5-methyl-thieno[3,2-b]pyridin-7-yl]piperidin-4-yl}-methanol,
- {1-[3-(4-bromo-2,6-dimethyl-phenyl)-2,5-dimethyl-thieno[3,2-b]pyridin-7yl]-piperidin-4-yl}-methanol,
- {1-[3-(2,4-dibromo-phenyl)-5-methyl-thieno[3,2-b]pyridin-7-yl]-piperidin-4-yl}-methanol,
- {1-[5-methyl-3-(2,4,6-trichloro-phenyl)-thieno[3,2-b]pyridin-7-yl]piperidin-4-yl}-methanol,
- 2-{1-[3-(4-bromo-2,6-dimethyl-phenyl)-5-methyl-thieno[3,2-b]pyridin-7yl]-piperidin-4-yl}-ethanol,
- 2-{1-[3-(4-bromo-2,6-dimethyl-phenyl)-2,5-dimethyl-thieno[3,2-b]pyridin-7-yl]-piperidin-4-yl}-ethanol,
- 2-{1-[3-(2,4-dibromo-phenyl)-5-methyl-thieno[3,2-b]pyridin-7-yl]piperidin-4-yl}-ethanol,
- 2-{1-[5-methyl-3-(2,4,6-trichloro-phenyl)-thieno[3,2-b]pyridin-7-yl]piperidin-4-yl}-ethanol,
- 1-[5-methyl-3-(2,4,6-trimethyl-phenyl)-thieno[3,2-b]pyridin-7-yl]piperidine-3-carbonitrile,
- {1-[3-(4-bromo-2,6-dimethyl-phenyl)-5-methyl-thieno[3,2-b]pyridin-7-yl]piperidin-4-yl}-acetonitrile,
- {1-[3-(4-bromo-2,6-dimethyl-phenyl)-2,5-dimethyl-thieno[3,2-b]pyridin-7yl]-piperidin-4-yl}-acetonitrile,
- {1-[3-(2,4-dibromo-phenyl)-5-methyl-thieno[3,2-b]pyridin-7-yl]-piperidin-4-yl}-acetonitrile
 - and {1-[5-methyl-3-(2,4,6-trichloro-phenyl)-thieno[3,2-b]pyridin-7-yl]-

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piperidin-4-yl}-acetonitrile.

- 17. An antagonist for CRF receptors, comprising a thienopyrimidine or thienopyridine derivative substituted with a cyclic amino group, a pharmaceutically acceptable salt thereof or its hydrate according to any one of claims 1 to 16, as an active ingredient.
- 18. Use of a thienopyrimidine or thienopyridine derivative substituted with a cyclic amino group, a pharmaceutically acceptable salt thereof or its hydrate according to any one of claim 1 to 16, for the manufacture of a therapeutic agent as an antagonist for CRF receptors.